

DERWENT-ACC-NO: 1997-548410

DERWENT-WEEK: 200252

COPYRIGHT 1999 DERWENT INFORMATION LTD

TITLE: Method of treating diarrhoea and gastrointestinal
spasms - using
poly:amine(s) e.g. di:ethyl-homo-spermine

----- KWIC -----

A method for treating diarrhoea or gastrointestinal
comprises administration of
compounds of formula (I), (II) or (III) which have
gastrointestinal
antisecretory, ~~nitric oxide agonist~~ or nitric oxide
synthase activating
activity: $R_1N_1(R_2)(CH_2)_mN_2(R_3)(CH_2)_nN_3(R_4)(CH_2)_mN_4R_5R_6$ (I)
 $R_1N_1H(CH_2)_3N_2H(CH_2)_3N_3H(CH_2)_4N_4H(CH_2)_3N_5H(CH_2)_3N_6HR_6$ (II)
 $R_1, R_6 = H, 1-12C$
alkyl or 1-12C aralkyl; providing that in (I) R_1 and R_6 are
not H; $R_2-R_5 = H,$
 R_1 or R_6 ; $R_7 = H, 1-12C$ alkyl, 1-12C aryl or 1-12C aralkyl;
and $m, n = 3$ to 6.

US-PAT-NO: 6407135

DOCUMENT-IDENTIFIER: US 6407135 B1

TITLE: Conjugates of dithiocarbamates with
pharmacologically active agents and
uses therefor

----- KWIC -----

stroke agents, such as 5-HT antagonists (e.g., Piperazine derivative), 5-HT reuptake inhibitors (e.g., Milnacipran, and Dalcipran), 5-HT 1A agonists (e.g., SR-57746A, and SR-57746), 5-HT 3 agonists (e.g., SR-57227), 5-HT 4 antagonists, 5-lipoxygenase inhibitors (e.g., low MW dual 5-lipoxygenase and PAF inhibitor CMI-392), ACh agonists (e.g., Pramiracetam, Choline-L-alfoscerate, L-alpha-glycerylphosphoryl-choline, and Delecit), adenosine agonists (e.g., GP-1-4683, ARA-100, and arasine analogs), adenosine A1 receptor agonists (e.g., Azaisotere, 2-chloro-N-[4 (phenylthio)-1-piperidinyl]adenosine, and 2120136), adenosine reuptake inhibitors (e.g., Diphenyloxazole derivatives), adrenergic transmitter re-uptake inhibitors (e.g., Bifemelane, E-0687, MCI-2016, Alnert, and Celeport), aldose reductase inhibitors (e.g., Spiro-3' pyrroline derivatives), alpha antagonists (e.g., Drotaverine acephyllinate, and Depogen), alpha 2 agonists (e.g., SNAP-5083, SNAP-5608, and SNAP-5682), AMPA receptor agonists (e.g., heterocyclic compound SYM-1207, and heterocyclic compound SYM-1252), AMPA receptor antagonists (e.g., LY-293558, and LY-215490), Ancrod/Arvin, aspirin, benzothiazoles (e.g., Lubeluzole, and R87926), benzodiazepine receptor antagonists (e.g., 3-oxadiazolyl-1,6-naph-thyridine derivatives, Tetracyclic imidazodiazepineseries imidazenil,

FID-02-023, and
 Ro-23-1412), blood substitutes, bradykinin antagonists
 (e.g., CP-0127,
 Bradycor, and Septicor), C5a release inhibitors (e.g.,
 protein derivative
 CMI46000), calcium antagonists (e.g., Lemildipine, NB-818,
 NPK-1886,
 Trimetazidine derivative, lomerizine KP-2796, Diltiazem
 analog clentiazem
 maleate, and TA-3090), calcium channel antagonists (e.g.,
 nitrendipine-like
 compound diperdipine, YS-201, U-92032, Diltiazem
 derivative, 1058, SM-6586,
 KP-840, F-0401, D-31-D, Tetrahydronaphthalene derivatives,
 fasudil, AT-877,
 H-7, HA-1044, HA-1077, Eril, darodipine, dazodipine,
 PY-108-068, Plimo,
 Dihydropyridine, AE 0047, GJ-0956, Lacidipine, GR-43659,
 GR-43659X, GX-1048,
 S-312-d, S-312, S-830312, Nilvadipine, and FK-235), calpain
 inhibitors (e.g.,
 AK-275, and CX-275), camitine palmitoyl-transferase
 inhibitors, carvedilol,
 cerebral calcium antagonist vasodilators (e.g., Nimodipine,
 and Nimotop),
 cholinesterase inhibitors (e.g., indole and indazole
 derivatives, and Tacrine
 analog), complement factor inhibitors (e.g., TK9C, protein
 derivative TP16,
 compinact A, compinact C, Factor D inhibitors, and soluble,
 recombinant
 MCP-based complement inhibitors), complement inhibitors
 (e.g., sCRI/BRL-55730,
 and YM-203), coronary vasodilators (e.g., Nicorandil,
 RP46417, SG-75, and
 Adancor), CPC-111, cytidyl diphosphocholine/citicholines,
 cytokines (e.g.,
 NBI-117), Dexanabiol, dopamine agonists, EAA receptors,
 endothelin antagonists
 (e.g., SB 209670), endothelin receptor antagonists,
 excitatory amino acid
 agonists (e.g., acylated polyamine analogs, and
 N-(4-hydroxyphenylpropa-nonyl)-spermine analog), excitatory
 amino acid
 antagonists (e.g., Tryptophan, 4,6-disubstituted stroke &
 kynurenine
 derivatives, NPC-17742, CPC-701, and CPC-702), glutamate
 antagonists (e.g.,

Kainate quisqualate NNC-07-9202, NPC-17742, small molecule
 CNS-1237, NS-257,
 NS-072, BW-619C, CGS 19755, Riluzole, PK-26124, and RP
 54274), glutamate
 receptor antagonists (e.g., Araxin compounds, Quinoxaline
 derivative, YM-90K,
 and YM-900), glycine antagonists, glycine NMDA agonists
 (e.g.,
 3-hydroxy-2,5-dioxo-1H-benz[b]azepines), glycine NMDA
 associated antagonists
 (e.g., 5,6-dihydro-1H-pyrrolo [1,2,3-de]
 quinoxaline-2,3-diones,
 Strychnine-insensitive glycine binding site of NMDA
 receptor L-687414,
 Glystasins, ACEA-2011, ACEA-3031, AC-1021, ACPC, and
 eliprodil), growth factor
 antagonists (e.g., non-peptide indolocarbazole neutrophilic
 molecules, and
 CEP-075), GPIIb/IIIa antagonists (e.g., Peptide C68-22),
 hemorheological agents
 (e.g., Drotaverine acephyllinate, and Depogen), heparin,
 hydroxyl radical
 formation inhibitors (e.g., homopiperazine derivative
 K-7259), hypocalcemic
 agents (e.g., calcitonin peptide, related to hCGRP
 peptide), hypothermic
 agents/BMY-20862, ICAM-1 compounds (e.g., Enlimomab),
 immunosuppressants (e.g.,
 small molecule compounds, and NBI-117), integrin general
 antagonists (e.g.,
 monoclonal antibody AN-100225, and monoclonal antibody
 AN-100226),
 Interleukin-1 antagonists (e.g., cyclic nitrones),
 iron-dependent lipid
 peroxidation inhibitors (e.g., 2-(amino-methyl) chromans),
 lactic acid
 accumulation/inhibitors (e.g., small molecule CPC-211),
 Leukotriene B4
 antagonists (e.g., Ebselen, DR-3305, PZ-25, PZ-51, RP
 60931, and RP 61605),
 lipid peroxidase inhibitors (e.g., Idebenone, and Avan),
 low molecular weight
 small molecules, methyltransferase stimulants (e.g.,
 4-methyl benzenesulfonate,
 ademetonine sulfate tosilate, FO-156, and Ceritan),
 monoamine oxidase B
 inhibitors (e.g., MD-280040, MD-200243, MD-280080,
 Lazabemide, and Ro-19-6327),

MS-153, MS-424, /Na.sup.+ /H.sup.+ Na.sup.+ /Li.sup.+
exchange inhibitors
(e.g., Pyrazine derivatives), nadroparin (e.g.,
Fraxiparin),
nafronyl/naftidrofuryl (e.g., Praxilene), nerve growth
factor agonists (e.g.,
small molecule compounds, CNTF, BDNF, 2.5S NGF,
monosialoganglioside GM1, and
Sigen/Sygen), neuronal calcium channel blockers (e.g.,
CPC-304, and CPC-317),
neuronal differentiation compounds (e.g., F-spondin),
neuropeptide agonists
(e.g., Neurotrophic Peptide Trofexin), neutrophil
inhibitory factors (e.g.,
small molecule compounds), nitric oxide agonists (e.g.,
hydroxy derivative
N-3393, hydroxy derivative N-3398, nicorandil, and
Therapicon), nitric oxide
antagonists, NMDA antagonists (e.g.,
Spiroisoindoles/dizocilpine derivatives,
Oxindole compound, CP-112116, LY-104658, LY-235959,
FR-115427, Sialic acid
derivative, N-palmitoyl-Betaethylglycoside neuraminic acid,
ND-37, Ro-01-6794,
706, Dextrorphan, Ifenprodil analogue eliprodil,
SL-82.0715, Lipophilic
molecules, HU-211, Remacemide, 934-423, 12495, 12859,
12942AA, Selfotel,
CGS-19755, SDZ-EAA-494, CGP40116, CGP-37849, CGP-39551, and
CGP-43487), NMDA
antagonist-partial agonists (e.g., Conantokin G peptide
SYM-1010), NMDA channel
blockers (e.g., Aptiganel, CERESTAT, and CNS 1102), NMDA
receptor antagonists,
NMDA receptor subtypes (e.g., Kainate quisqua-late
NNC-07-9202),
non-competitive NMDA antagonists (e.g., FPL-15896),
non-ionic copolymer
RheothRx, nootropic/acetylcholine agonists (e.g.,
Oxiracetam, CT-848, and
Neuractiv), norepinephrine inhibitors (e.g., Midalci-pran),
N-type calcium
channel antagonists (e.g., NS-626, and NS-638), opioid
antagonists (e.g.,
Nalmefene, nalmetrene, JF-1, ORF-11676, Cervene, and
Incystene), opioid kappa
receptor agonists (e.g., acrylacetamide enadoline, and
CI-997), organoselenims

09/ 806,989
5580576

(e.g., Ebselen, DR-3305, PZ-25, PZ-51, RP 60931, and RP 61605), oxygen scavengers (e.g., Tirilazad mesylate, Lazaroids, and Freedox), PA2 inhibitors (e.g., phospholipase A2 inhibitor), PAF antagonists (e.g., nupafant, and BB-2113), partial glycine NMDA agonists (e.g., ACPC), peptide/ GPIIb/IIIa antagonists (e.g., Integrelin), peptidic neuron-specific calcium channel antagonists (e.g., SNX-111), phosphodiesterase inhibitors (e.g., Xanthine derivatives, propentofylline, Hoe-285, and Hextol), phospholipase A2 inhibitors (e.g., small organic molecule CEP-217), plasminogen activators (e.g., r-ProUK (recombinant pro-urokinase), platelet-activating factor antagonists (e.g., UK-74505), platelet adhesion inhibitors (e.g., Peptide), platelet aggregation antagonists (e.g., cilostazol, peptide agents, GPHb-IIIA inhibitor, and TP-9201), platelet aggregation inhibitors (e.g., Diaminoalkanoic acid derivatives), potassium channel agonists (e.g., Nicorandil, RP46417, SG-75, and Adancor), prolyl endopeptidase (PEP) inhibitors (e.g., JTP-4819), protein kinase C inhibitors (e.g., monosialoganglioside derivative Liga-20), proteolytic enzyme inhibitors (e.g., Protease nexin-1, Incyte, PN-1, PN-2, Nafamostat, FUT-175, Duthan, and Futhan), pyrimidine derivatives, Quinolizine derivatives (e.g., KF-17329, and KF-19863), radical formation antagonists (e.g., EPC-K1), recombinant tissue plasminogen activators (e.g., alteplase, and Activase), Schwann cell derived molecules/promoters, sigma antagonists (e.g., Sigma ligand), sigma receptor antagonists (e.g., tetrahydropyridinyl-isoxazolines and isoxazoles PD-144418), sodium/calcium channel modulators (e.g., Lifarizine, and RS-87476), sodium channel antagonists, streptokinase (e.g., Streptase), substituted guanadine (e.g., small molecule CNS-1237), superoxide dismutase stimulants

(e.g., PEG conjugated enzyme superoxide dismutase/Dismutec, and PEG-SOD), thrombin inhibitors, (e.g., non-peptide), thromboxane synthase inhibitors (e.g., Linotroban, and HN-11500), thyrotropin-releasing hormone agonists (e.g., TRH agonists, Protirelin analogthymoliberin, and RX-77368,), ticlopidine (e.g., Ticlid), TJ-8007, TRH agonists (e.g., Thyrotropin releasing hormones, and JTP-2942), trilazard, urokinase (e.g., Abbokinase), w-conopeptide (e.g., SNX-111), and warfarin (e.g., Coumadin), and the like;